

his

(FILE 'HOME' ENTERED AT 12:15:37 ON 01 APR 2010)

FILE 'REGISTRY' ENTERED AT 12:16:11 ON 01 APR 2010

L1 0 S P-88-8991/CN  
L2 0 S P-88-8991

FILE 'CAPLUS' ENTERED AT 12:16:43 ON 01 APR 2010

L3 2 S P(W)88(W)8991  
L4 ANALYZE L3 1-2 RN : 25 TERMS

FILE 'REGISTRY' ENTERED AT 12:17:45 ON 01 APR 2010

L5 25 S L4  
L6 13 S L5 AND PIPERIDIN?

FILE 'CAPLUS' ENTERED AT 12:18:51 ON 01 APR 2010

L7 124 S L6

FILE 'REGISTRY' ENTERED AT 12:18:59 ON 01 APR 2010

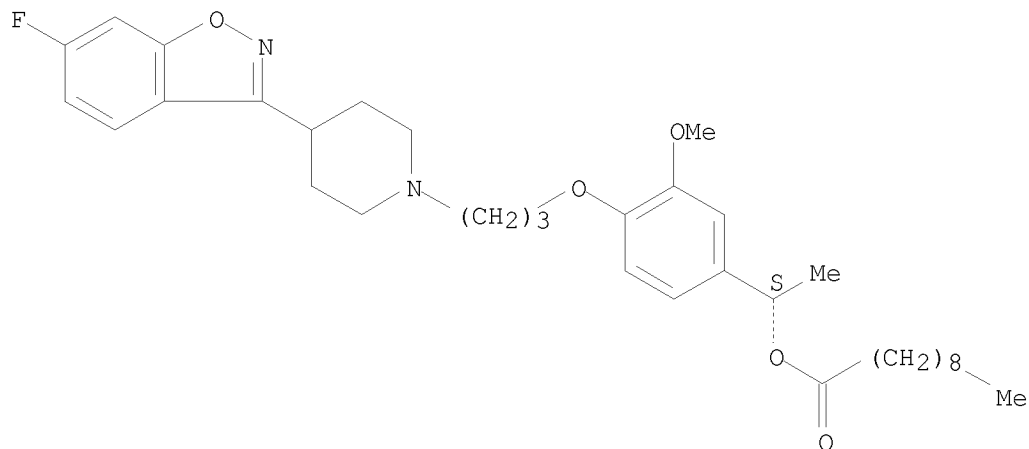
=> d 16 1-13

L6 ANSWER 1 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 849438-16-0 REGISTRY  
ED Entered STN: 28 Apr 2005  
CN Decanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester, ethanedioate (1:1)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C34 H47 F N2 O5 . C2 H2 O4  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

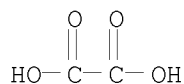
CRN 849437-82-7  
CMF C34 H47 F N2 O5

Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7  
CMF C2 H2 O4

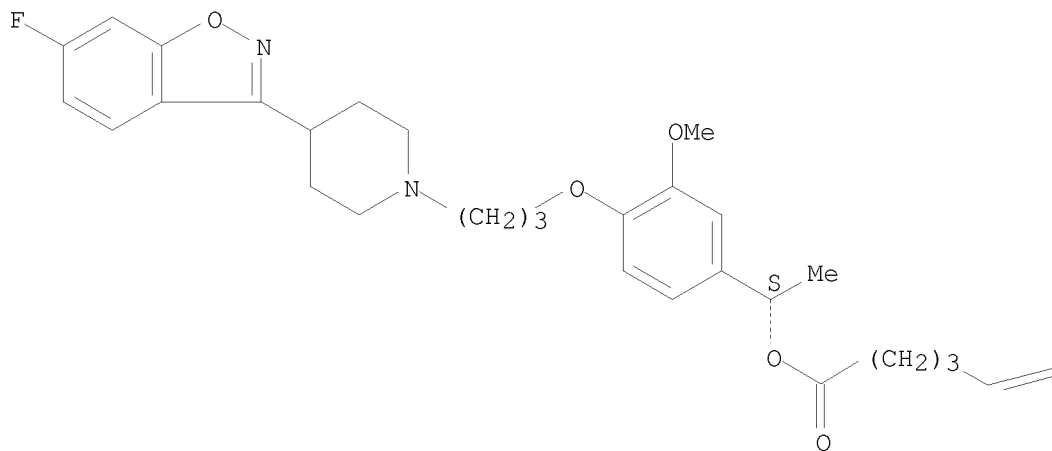


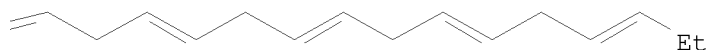
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 2 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 849438-06-8 REGISTRY  
ED Entered STN: 28 Apr 2005  
CN 5,8,11,14,17-Eicosapentaenoic acid,  
(1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)  
FS STEREOSEARCH  
MF C44 H57 F N2 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A



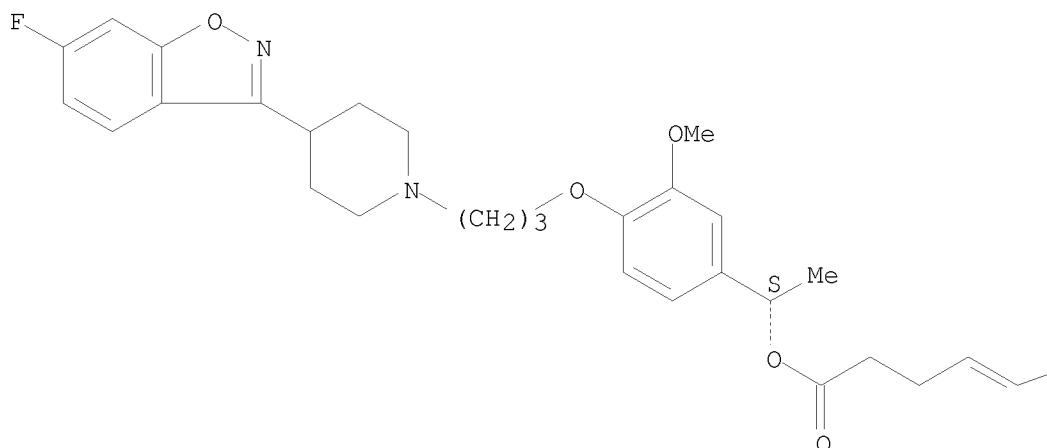


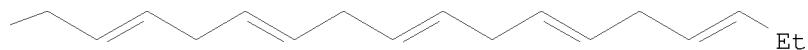
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 3 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 849438-02-4 REGISTRY  
ED Entered STN: 28 Apr 2005  
CN 4,7,10,13,16,19-Docosahexaenoic acid,  
(1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)  
FS STEREOSEARCH  
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SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.  
Double bond geometry unknown.



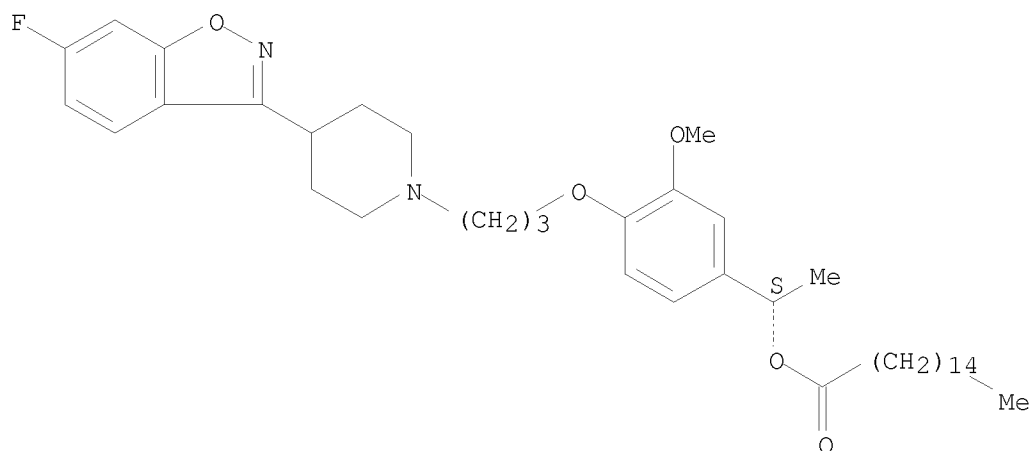


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 4 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 849437-95-2 REGISTRY  
ED Entered STN: 28 Apr 2005  
CN Hexadecanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)  
FS STEREOSEARCH  
MF C40 H59 F N2 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).



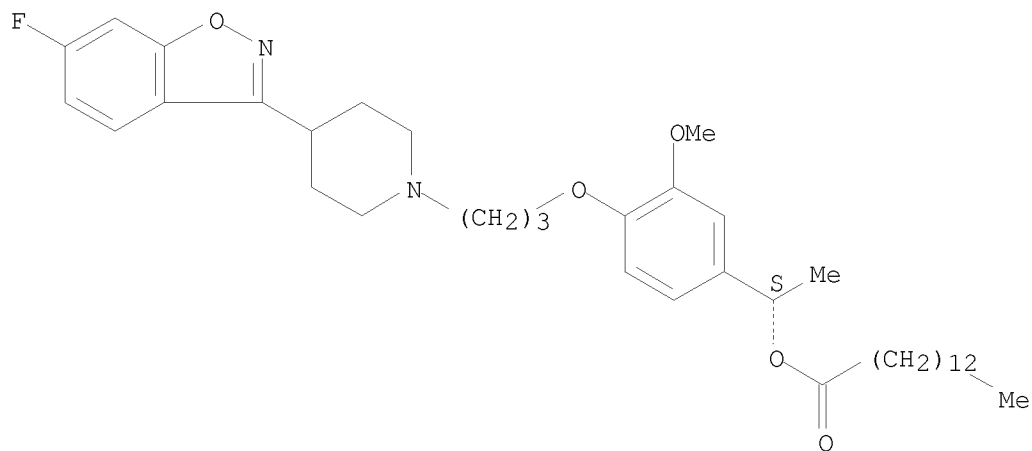
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 5 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 849437-92-9 REGISTRY

ED Entered STN: 28 Apr 2005  
 CN Tetradecanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C38 H55 F N2 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).

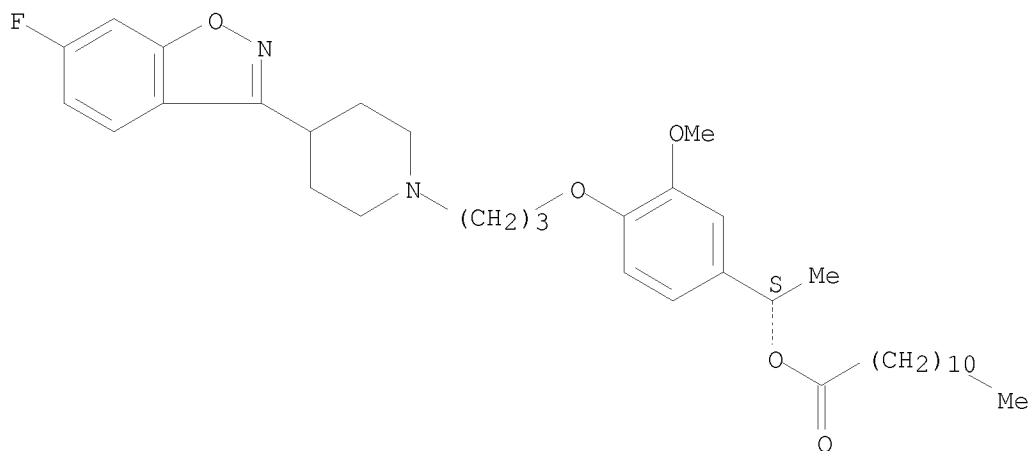


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 6 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
 RN 849437-90-7 REGISTRY  
 ED Entered STN: 28 Apr 2005  
 CN Dodecanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)  
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 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).

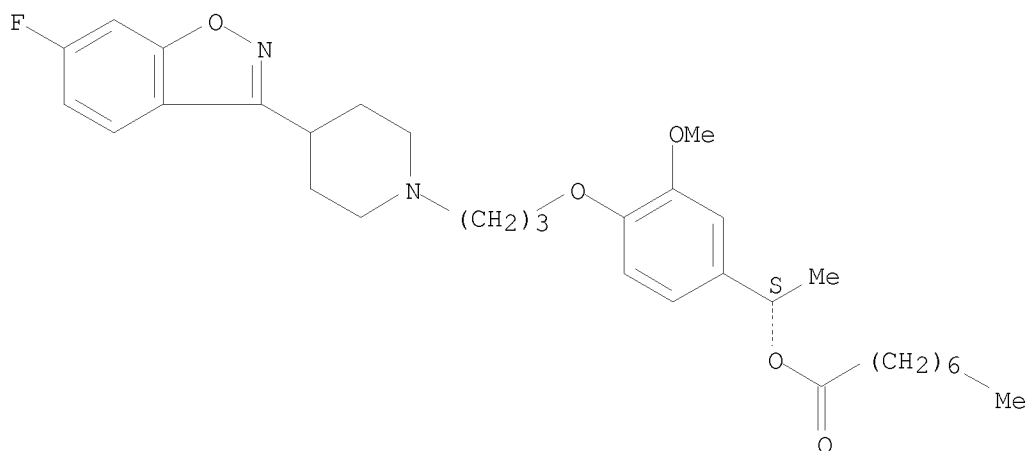


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 7 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 849437-88-3 REGISTRY  
ED Entered STN: 28 Apr 2005  
CN Octanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)  
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SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).



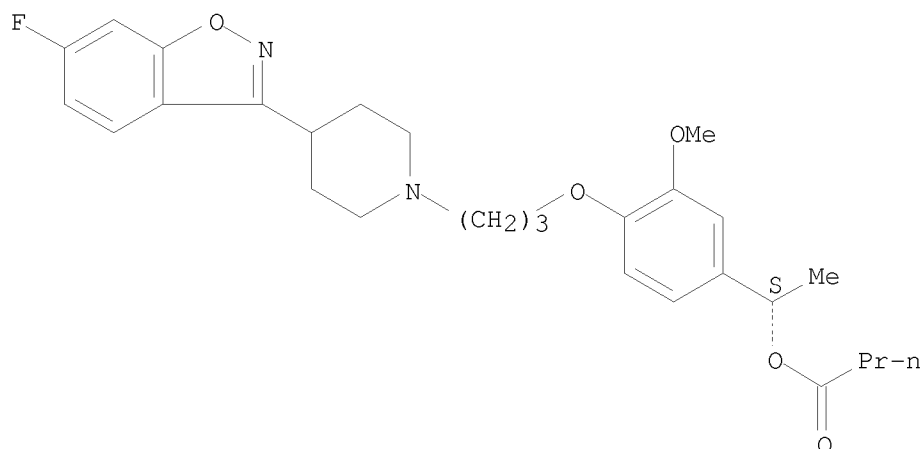
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 8 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN

RN 849437-86-1 REGISTRY  
 ED Entered STN: 28 Apr 2005  
 CN Butanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C28 H35 F N2 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).

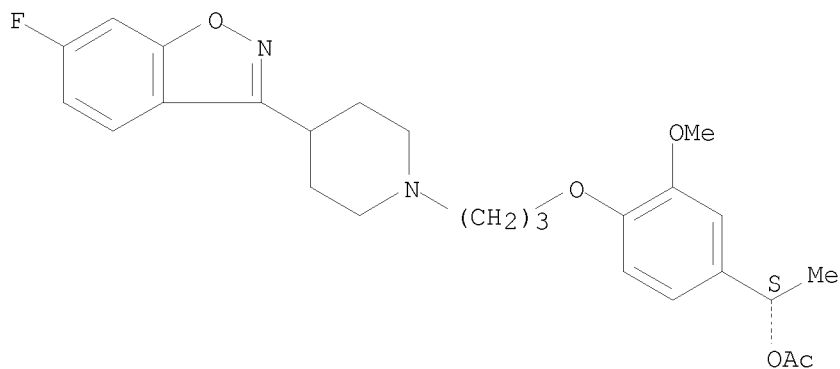


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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 9 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
 RN 849437-84-9 REGISTRY  
 ED Entered STN: 28 Apr 2005  
 CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, 1-acetate, ( $\alpha$ S)- (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, acetate (ester), ( $\alpha$ S)- (9CI)  
 OTHER NAMES:  
 CN (S)-(-)-1-(4-[3-[4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl]propoxy]-3-methoxyphenyl)ethyl acetate  
 FS STEREOSEARCH  
 MF C26 H31 F N2 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).

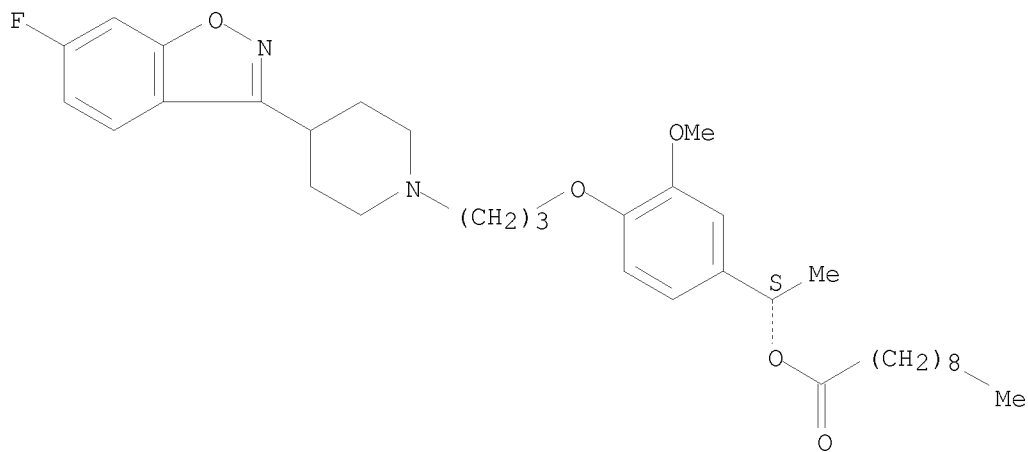


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 10 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 849437-82-7 REGISTRY  
ED Entered STN: 28 Apr 2005  
CN Decanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)  
FS STEREOSEARCH  
MF C34 H47 F N2 O5  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (-).



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

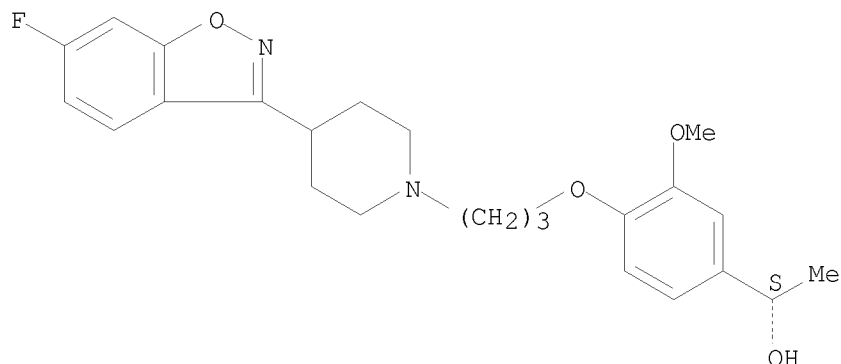
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 11 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 501373-88-2 REGISTRY  
ED Entered STN: 02 Apr 2003



CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, ( $\alpha$ S)- (CA  
 INDEX NAME)  
 FS STEREOSEARCH  
 MF C24 H29 F N2 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

Absolute stereochemistry. Rotation (-).

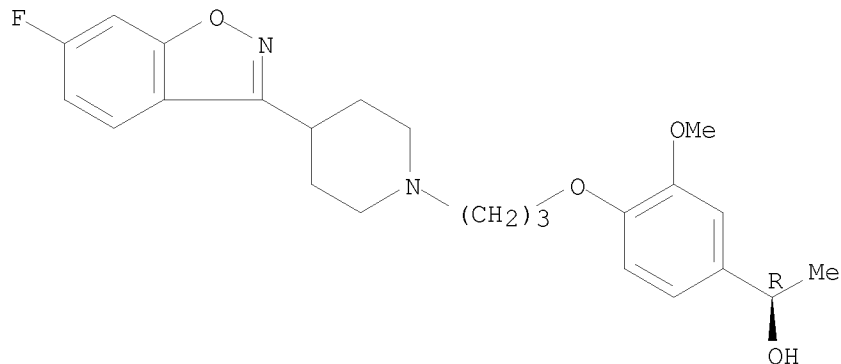


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3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 12 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
 RN 501373-87-1 REGISTRY  
 ED Entered STN: 02 Apr 2003  
 CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, ( $\alpha$ R)- (CA  
 INDEX NAME)  
 FS STEREOSEARCH  
 MF C24 H29 F N2 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

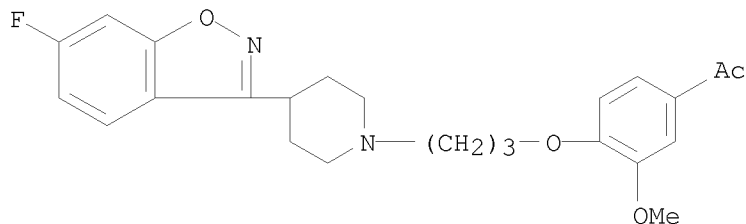
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 133454-47-4 REGISTRY  
ED Entered STN: 26 Apr 1991  
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN 1,2-Benzisoxazole, ethanone deriv.  
OTHER NAMES:  
CN HP 873  
CN Iloperidone  
CN Zomaril  
DR 148560-84-3  
MF C24 H27 F N2 O4  
CI COM  
SR CA  
LC STN Files: ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK\*, PROMT, PROUSDDR, RTECS\*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL  
(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

120 REFERENCES IN FILE CA (1907 TO DATE)  
5 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
124 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s 133454-47-4  
L8 1 133454-47-4  
(133454-47-4/RN)

=> s 16 not 18  
L9 12 L6 NOT L8

=> fil caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	28.28	86.08
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-0.85

FILE 'CAPLUS' ENTERED AT 12:20:04 ON 01 APR 2010

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 1 Apr 2010 VOL 152 ISS 14  
FILE LAST UPDATED: 31 Mar 2010 (20100331/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 19  
L10 3 L9

=> d bib abs hitstr 1-3

L10 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN  
AN 2005:300440 CAPLUS  
DN 142:373605  
TI Preparation of fatty acid esters of the reversible Iloperidone metabolite P-88-8991  
IN Nozulak, Joachim; Kalkman, Hans O.  
PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
SO PCT Int. Appl., 15 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

EP 1668003	A1	20060614	EP 2004-787069	20040930
EP 1668003	B1	20071114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007507455	T	20070329	JP 2006-530057	20040930
AT 378331	T	20071115	AT 2004-787069	20040930
PT 1668003	E	20071231	PT 2004-787069	20040930
ES 2297493	T3	20080501	ES 2004-787069	20040930
US 20070197595	A1	20070823	US 2006-575040	20061206
PRAI GB 2003-22994	A	20031001		
WO 2004-EP10938	W	20040930		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OS CASREACT 142:373605; MARPAT 142:373605  
GI

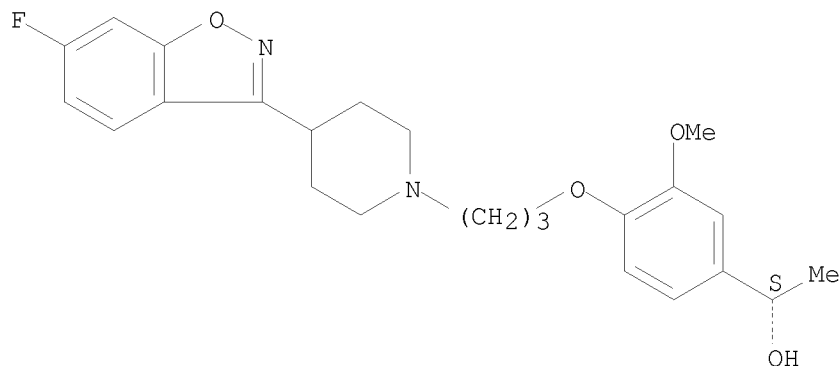
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to novel fatty acid esters I [R = C1-40-alkyl, C2-40-alkenyl; as free base or acid addition salt] of the reversible iloperidone metabolite P-88-8991, their preparation, their use as pharmaceuticals and pharmaceutical compns. containing them. The process comprises reacting iloperidone metabolite P-88-8991 (II) with RC(:O)X [X = halogen]. Thus, fatty ester III was prepared from iloperidone via asym. reduction with (3aR)-1-Methyl-3,3-diphenyltetrahydropyrrolo[1,2-c][1,3,2]oxazaborole borane complex (IV·BH3) followed by acylation with caproyl chloride. I can be used for the treatment of psychotic disorders (no data).

IT 501373-88-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of, by fatty acid chlorides; preparation of fatty acid esters of the reversible iloperidone metabolite P-88-8991)

RN 501373-88-2 CAPLUS  
CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 849437-82-7P 849437-84-9P,  
(S)-(-)-1-(4-[3-[4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl]propoxy]-3-methoxyphenyl)ethyl acetate 849437-86-1P

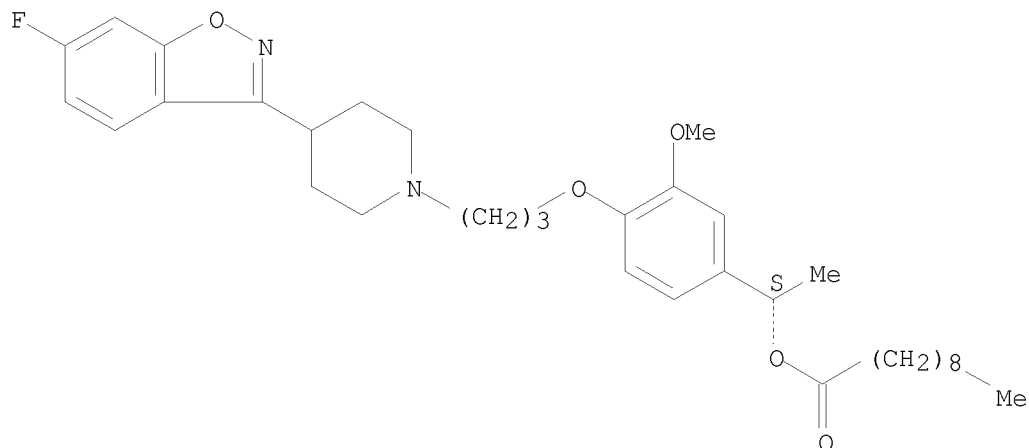
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849437-95-2P    849438-02-4P    849438-06-8P  
849438-16-0P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(preparation of fatty acid esters of the reversible iloperidone metabolite  
P-88-8991)

RN 849437-82-7 CAPLUS

CN Decanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)

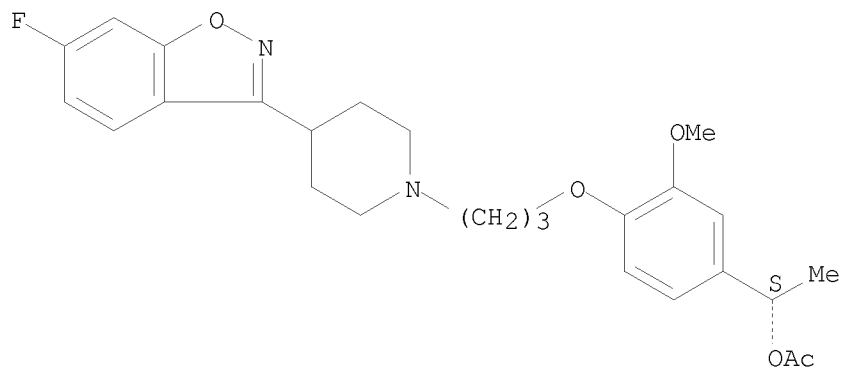
Absolute stereochemistry. Rotation (-).



RN 849437-84-9 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, 1-acetate, ( $\alpha$ S)-  
(CA INDEX NAME)

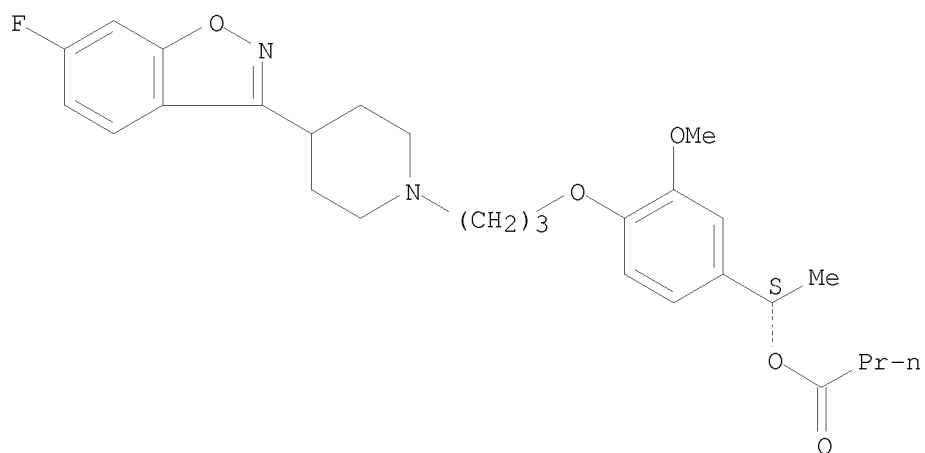
Absolute stereochemistry. Rotation (-).



RN 849437-86-1 CAPLUS

CN Butanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)

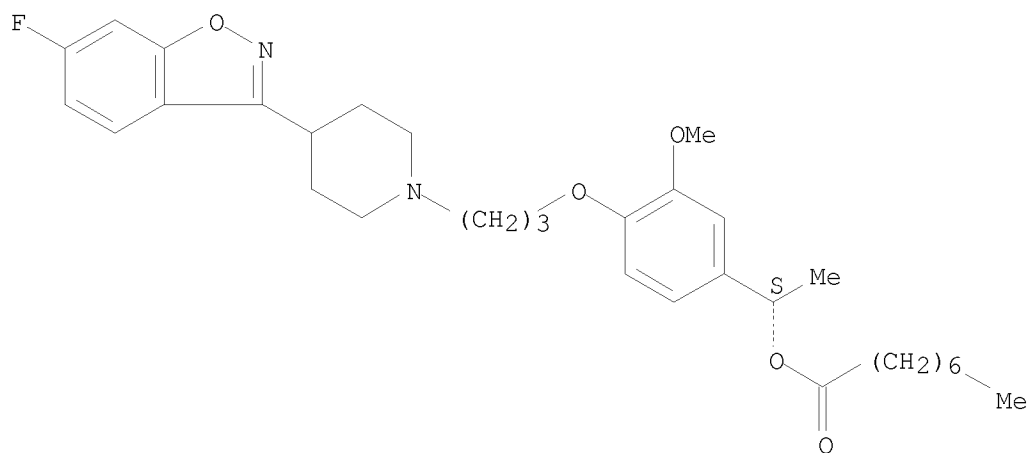
Absolute stereochemistry. Rotation (-).



RN 849437-88-3 CAPLUS

CN Octanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)

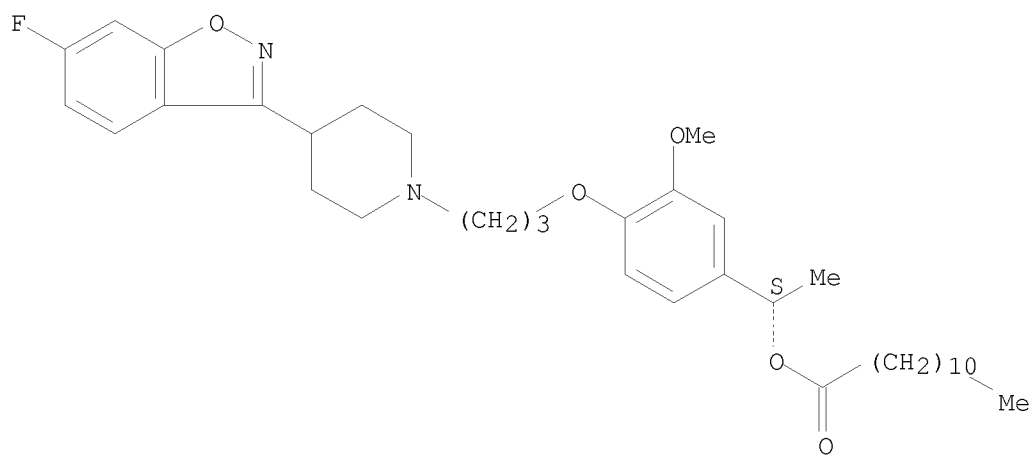
Absolute stereochemistry. Rotation (-).



RN 849437-90-7 CAPLUS

CN Dodecanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)

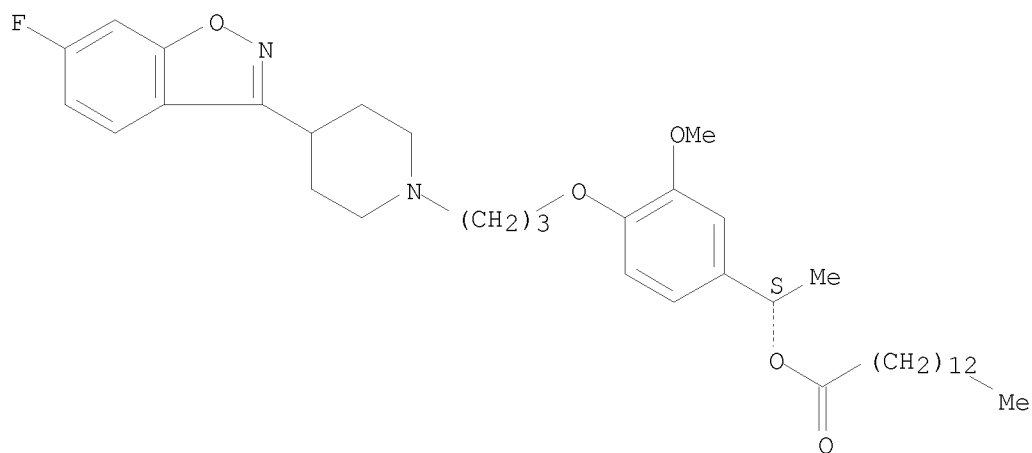
Absolute stereochemistry. Rotation (-).



RN 849437-92-9 CAPLUS

CN Tetradecanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)

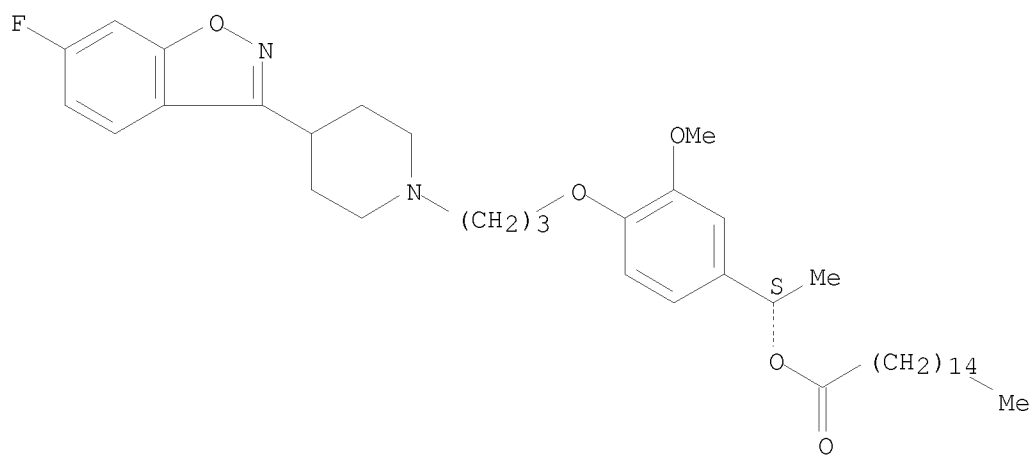
Absolute stereochemistry. Rotation (-).



RN 849437-95-2 CAPLUS

CN Hexadecanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

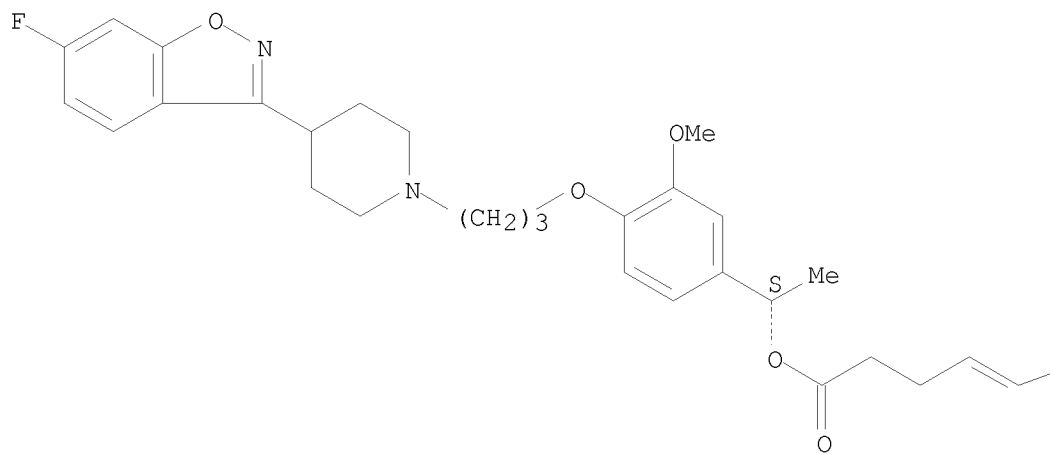


RN 849438-02-4 CAPLUS

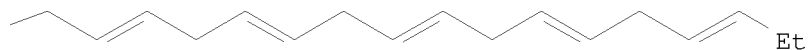
CN 4,7,10,13,16,19-Docosahexaenoic acid,  
 (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.

PAGE 1-A





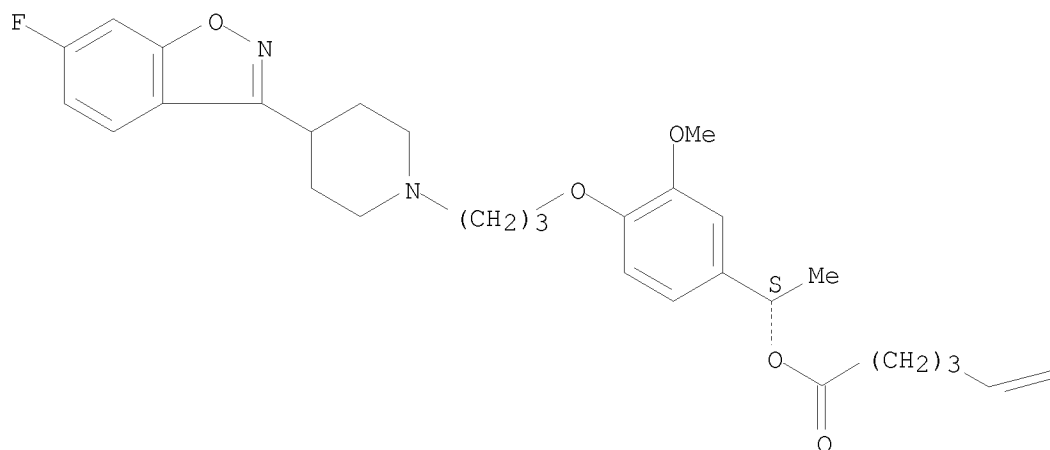


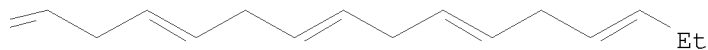
RN 849438-06-8 CAPLUS

CN 5,8,11,14,17-Eicosapentaenoic acid,

(1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



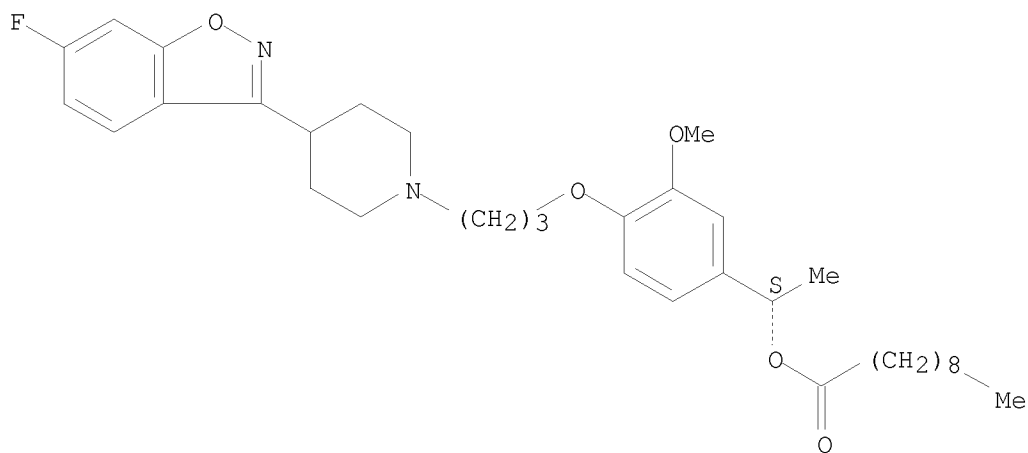


RN 849438-16-0 CAPLUS  
 CN Decanoic acid, (1S)-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]ethyl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

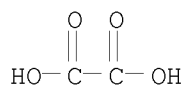
CRN 849437-82-7  
 CMF C34 H47 F N2 O5

Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7  
 CMF C2 H2 O4



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN  
 AN 2004:60283 CAPLUS  
 DN 140:117396  
 TI Injectable depot formulation comprising crystals of iloperidone  
 IN Wieckhusen, Dierk; Glausch, Alexandra; Ahlheim, Markus  
 PA Novartis AG, Switz.; Novartis Pharma GmbH  
 SO PCT Int. Appl., 20 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2004006886	A2	20040122	WO 2003-EP7619	20030714	
	WO 2004006886	A3	20040219			
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	CA 2492467	A1	20040122	CA 2003-2492467	20030714	
	CA 2492467	C	20100316			
	AU 2003281154	A1	20040202	AU 2003-281154	20030714	
	AU 2003281154	B2	20061012			
	EP 1523335	A2	20050420	EP 2003-756455	20030714	
	EP 1523335	B1	20061220			
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
	JP 2005533093	T	20051104	JP 2004-520629	20030714	
	NZ 537598	A	20060728	NZ 2003-537598	20030714	
	AT 348635	T	20070115	AT 2003-756455	20030714	
	PT 1523335	E	20070228	PT 2003-756455	20030714	
	ES 2279153	T3	20070816	ES 2003-756455	20030714	
	ZA 2004010323	A	20060628	ZA 2004-10323	20041222	
	US 20050250813	A1	20051110	US 2005-521064	20050112	
	HK 1076029	A1	20070706	HK 2005-108084	20050915	
	US 20090099232	A1	20090416	US 2008-254925	20081021	
PRAI	GB 2002-16416	A	20020715			
	WO 2003-EP7619	W	20030714			
	US 2005-521064	B1	20050112			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 140:117396

AB An injectable depot formulation comprises crystals of iloperidone or its derivative Depot formulations containing crystals of iloperidone or its metabolite have the following advantages: (i) release of the crystals in plasma can be correlated with the size of the crystals; (ii) absorption of the crystals in plasma can be correlated with the size of the crystals; (iii) the particle size of the crystals can be controlled by crystal engineering and/or milling; and (iv) the crystals are stable upon storage, and stable to sterilization procedures, such as  $\gamma$ -irradiation Thus, iloperidone was treated with BuOAc, the solution was cooled, and seeded with iloperidone. The suspension obtained was filtered and the filter cake was dried at 50-60° to give the iloperidone crystals.

IT 501373-87-1 501373-88-2

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES

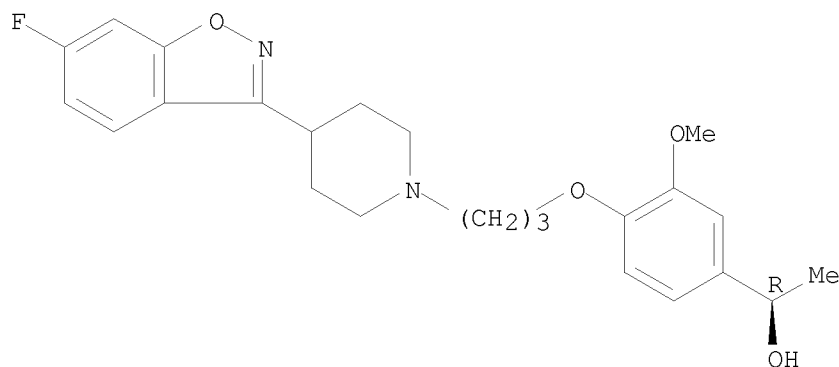
(Uses)

(injectable depot formulation comprising crystals of iloperidone)

RN 501373-87-1 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, ( $\alpha$ R)- (CA INDEX NAME)

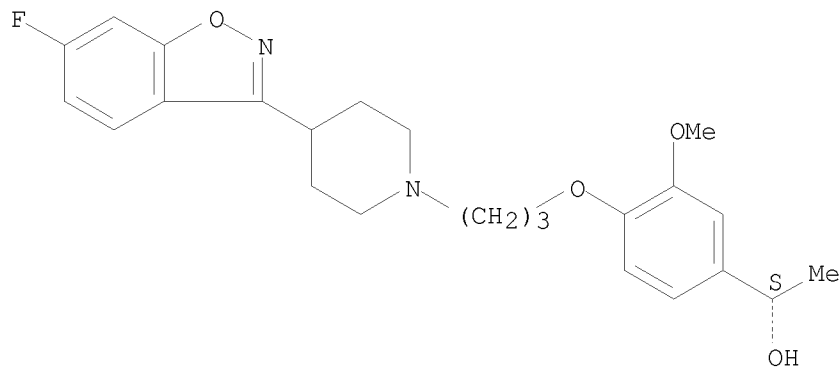
Absolute stereochemistry.



RN 501373-88-2 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2003:202629 CAPLUS

DN 138:238170

TI Preparation of optical isomers of an iloperidone metabolite as antipsychotics.

IN Grimler, Dominique; Kalkman, Hans O.; Yin, Hequn

PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SO PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003020707	A1	20030313	WO 2002-EP9700	20020830
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
	AU 2002331093	A1	20030318	AU 2002-331093	20020830
	EP 1425272	A1	20040609	EP 2002-767454	20020830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005504783	T	20050217	JP 2003-524978	20020830
	US 20050020632	A1	20050127	US 2004-488128	20040916
	US 20090176739	A1	20090709	US 2009-403755	20090313
PRAI	US 2001-316390P	P	20010831		
	WO 2002-EP9700	W	20020830		
	US 2004-488128	A1	20040916		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

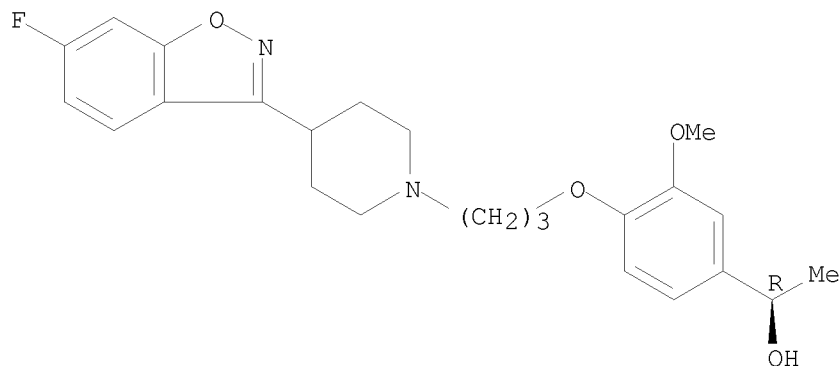
AB (R)- and (S)-1-[4-[3-[4-(6-fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl]propoxy]-3-methoxyphenyl]ethanol were prepared Thus, iloperidone in CH<sub>2</sub>Cl<sub>2</sub> was added to a 0° solution of (3aR,7R)-1-methyl-3,3-diphenyltetrahydropyrrolo[1,2-c][1,3,2]oxazaborole borane complex in CH<sub>2</sub>Cl<sub>2</sub> over 90 min. followed by stirring at 0° for 20 h to give (S)-1-[4-[3-[4-(6-fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl]propoxy]-3-methoxyphenyl]ethanol. The latter bound to adrenergic  $\alpha$ 1 and  $\alpha$ 2c receptors with pK<sub>i</sub> = 9.2 and 7.7, resp.

IT 501373-87-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 ((R)-P-88-8991; preparation of optical isomers of an iloperidone metabolite as antipsychotics)

RN 501373-87-1 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, ( $\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 501373-88-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

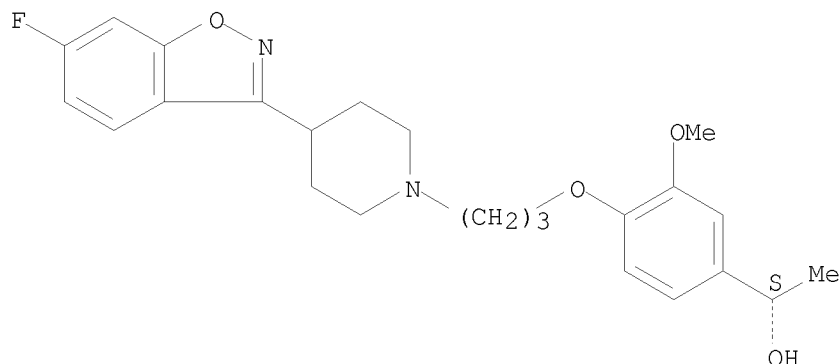
(Uses)

((S)-P-88-8991; preparation of optical isomers of an iloperidone metabolite as antipsychotics)

RN 501373-88-2 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- $\alpha$ -methyl-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)  
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 18

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L8 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2010 ACS on STN

RN 133454-47-4 REGISTRY

ED Entered STN: 26 Apr 1991

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,2-Benzisoxazole, ethanone deriv.

OTHER NAMES:

CN HP 873

CN Iloperidone

CN Zomaril

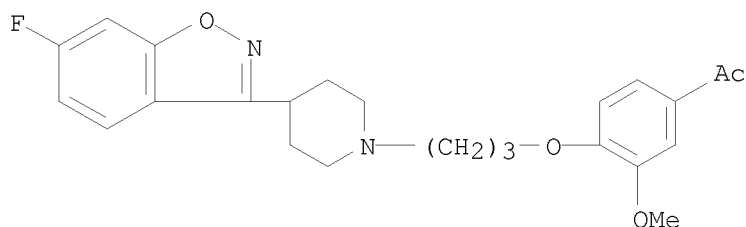
DR 148560-84-3

MF C24 H27 F N2 O4

CI COM

SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK\*, PROMT, PROUSDDR, RTECS\*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL  
(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

120 REFERENCES IN FILE CA (1907 TO DATE)  
 5 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 124 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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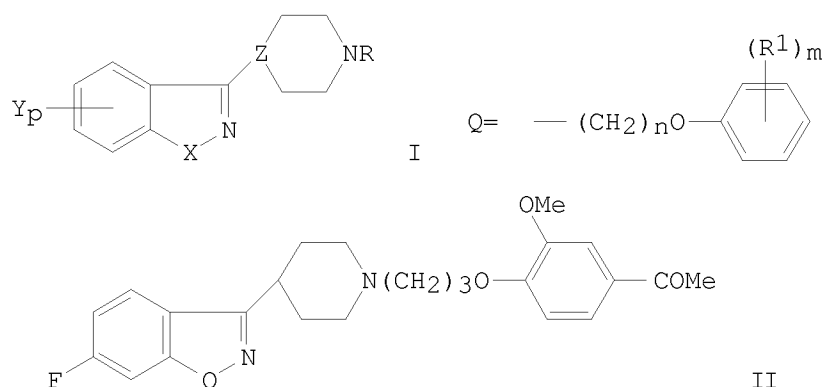
L11 124 L8

=> d bib abs hitstr 124

L11 ANSWER 124 OF 124 CAPLUS COPYRIGHT 2010 ACS on STN  
 AN 1991:185553 CAPLUS  
 DN 114:185553  
 OREF 114:31351a,31354a  
 TI Preparation of N-(aryloxyalkyl)heteroarylpiperidines and  
 -heteroarylpiperazines as antipsychotic agents  
 IN Strupczewski, Joseph Thomas; Helsley, Grover Cleveland; Chiang, Yulin;  
 Bordeau, Kenneth J.  
 PA Hoechst-Roussel Pharmaceuticals, Inc., USA  
 SO Eur. Pat. Appl., 56 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 402644	A1	19901219	EP 1990-109208	19900516
	EP 402644	B1	19950816		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 126512	T	19950915	AT 1990-109208	19900516
	ES 2076253	T3	19951101	ES 1990-109208	19900516
	DD 300433	A5	19920611	DD 1990-340772	19900517
	IL 94425	A	19940227	IL 1990-94425	19900517
	CZ 282385	B6	19970716	CZ 1990-2425	19900517
	SK 279474	B6	19981104	SK 1990-2425	19900517
	FI 104072	B1	19991115	FI 1990-2449	19900517
	CA 2017193	A1	19901119	CA 1990-2017193	19900518
	CA 2017193	C	20000627		
	NO 9002214	A	19901120	NO 1990-2214	19900518
	NO 177301	B	19950515		
	NO 177301	C	19950823		
	ZA 9003830	A	19910227	ZA 1990-3830	19900518
	JP 03063263	A	19910319	JP 1990-127090	19900518
	JP 06062580	B	19940817		
	HU 58720	A2	19920330	HU 1990-3090	19900518
	HU 218200	B	20000628		
	PL 163965	B1	19940531	PL 1990-285247	19900518
	RU 2062776	C1	19960627	RU 1990-4743876	19900518

	KR 157308	B1	19981116	KR 1990-7102	19900518
	CN 1048037	A	19901226	CN 1990-103721	19900519
	CN 1086387	C	20020619		
	AU 9055770	A	19901122	AU 1990-55770	19900523
	AU 640653	B2	19930902		
	RU 2147583	C1	20000420	RU 1995-115403	19950906
	CZ 288464	B6	20010613	CZ 1996-3628	19961210
	CZ 288710	B6	20010815	CZ 1996-3629	19961210
	FI 9901869	A	19990902	FI 1999-1869	19990902
	RU 2239434	C2	20041110	RU 1999-126501	19991220
	CN 1305812	A	20010801	CN 2000-130979	20001106
	CN 1223348	C	20051019		
	AU 770976	B2	20040311	AU 2001-79385	20011012
	HK 1038316	A1	20060721	HK 2001-109127	20011227
PRAI	US 1989-354411	A	19890519		
	US 1989-456790	A	19891229		
	RU 1995-115403	A	19950906		
	CZ 1985-282300	A3	19970716		
	AU 1998-97207	A3	19981218		
OS	MARPAT 114:185553				
GI					



AB The title compds. I [R = Q; X = O, S, (substituted) NH; p = 1,2; Y = H, C1-6 alkyl, OH, Cl, F, Br, iodo, C1-6 alkoxy, CF<sub>3</sub>, NO<sub>2</sub>, NH<sub>2</sub>; when p = 1, Y = alkoxy; when p = 2, X = O; Z = CH, N; n = 2-5; R<sup>1</sup> = H, alkyl, C1-6 alkoxy, OH, CO<sub>2</sub>H, Cl, F, Br, iodo, NO<sub>2</sub>, mono- or dialkylamino, CF<sub>3</sub>, cyano, CONH<sub>2</sub>, alkanoyl, aroyl, (substituted) Ph, etc.], having antipsychotic and/or analgesic activity, are prepared by reaction of I (R = H) with phenoxyalkyl halides QX<sup>1</sup> (X<sup>1</sup> = Cl, Br). Thus, a mixture of 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole-HCl, 1-[4-(3-chloropropoxy)-3-methoxyphenyl]ethanone, and K<sub>2</sub>CO<sub>3</sub> in DMF was stirred 16 h at 90° to give 58% a benzisoxazole (II). A total of 53 I were prepared II inhibited the apomorphine-induced climbing behavior in mice with ED<sub>50</sub> of 0.095 mg/kg, i.p.

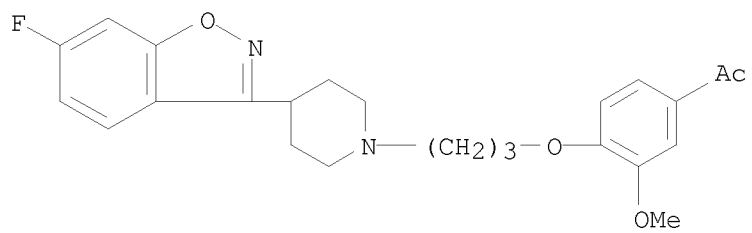
IT 133454-47-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as analgesic and antipsychotic)

RN 133454-47-4 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (CA INDEX NAME)





OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)